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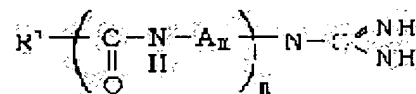
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(54) SKIN EXTERNAL PREPARATION

(57)Abstract:

PURPOSE: To obtain a skin external preparation excellent in moisture retaining properties, having high slip and smoothness in using as well as good feeling of use by including one or two or more compounds selected from guanidine derivatives having amide group in the molecule and salts thereof.

CONSTITUTION: This skin external preparation contains one or two or more compounds selected from guanidine derivatives expressed by the formula [R₁ is 1-22C branched chain or straight-chain alkyl or alkenyl; A is 1-10C branched chain or straight-chain alkylene or alkenylene; (m) is 0 or 1; (n) is 1-5] and having amide group in the molecule and their salts. The skin external preparation is excellent in moisture retaining effect and has good slip in using and smooth feeling of use free from stickiness. This skin external preparation does not include skin cleansing agent. As the compound of the formula, e.g. 4-guandinobutyldodecanamide glycolic acid salt, 2-guanidinoethyl octadecanamide hydrochloride, etc., is used.



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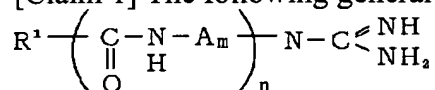
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CLAIMS

[Claim(s)]

[Claim 1] The following general formula [** 1]



(However, R¹ is the alkyl group or alkenyl radical of the shape of branched-chain [of carbon numbers 1-22], or a straight chain among a formula.) A is the alkylene group or alkenylene group of the shape of branched-chain [of carbon numbers 1-10], or a straight chain. m -- 0 or 1, and n -- the integer of 1-5 -- being shown -- the external preparations for the skins (however, the cleaning agent for the skins is removed) characterized by containing one sort chosen from the guanidine derivative which has an amide group in the intramolecular expressed, and its salt, or two sorts or more.

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DETAILED DESCRIPTION

[Detailed Description of the Invention]

[0001]

[Industrial Application] This invention has skin external preparations, spread nature (mileage) high [excelling in moistness in more detail / at the time of use], and smooth nature, and relates to skin external preparations with a good feeling of use.

[0002]

[Description of the Prior Art] Although blending water-soluble polyhydric alcohol and water soluble polymers, such as a glycerol and 1 and 3-butylene glycol, so much in order to give admiration, i.e., a moisturizancy effect, conventionally to the charge of makeup gently had been performed, when many these water solubility polyhydric alcohol and water soluble polymers were blended, stickiness came to be sensed, and mileage worsened at the time of spreading, and there was a fault that the concordance to the skin was bad. Moreover, although blending the oils of the shape of a paste, such as lanolin and vaseline, in order to obtain the good feeling of use of a feeling of oiliness had been performed, while the good feeling of use of a feeling of oiliness was obtained, it was difficult [it was smooth, and] for there to have been a fault that stickiness comes to be sensed and to also have made admiration have gently.

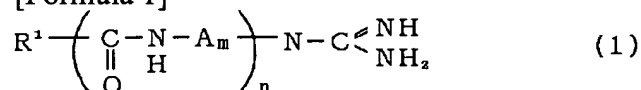
[0003]

[Problem(s) to be Solved by the Invention] This invention makes it the technical problem to offer the skin external preparations which it excels in a moisturizancy effect, and the mileage at the time of use is good, is not sticky, and have a smooth feeling of use.

[0004]

[Means for Solving the Problem] this invention persons came to complete this invention, as a result of repeating examination wholeheartedly that said technical problem should be solved. That is, according to this invention, the skin external preparations (however, the cleaning agent for the skins is removed) characterized by containing one sort chosen from the guanidine derivative which has an amide group in the intramolecular expressed with the following general formula, and its salt, or two sorts or more are offered.

[Formula 1]



(However, R¹ is the alkyl group or alkenyl radical of the shape of the letter of branching of carbon numbers 1-22, or a straight chain among a formula, A is the alkylene group or alkenylene group of the shape of the letter of branching of carbon numbers 1-10, or a straight chain, and, as for m, 0 or 1, and n show the integer of 1-5)

[0005] Generally a Monod N-permutation guanidine derivative Since it has in intramolecular the guanidine radical which is a strong base nature machine and this radical has resonance mold univalent

anion kinds, such as a carboxy anion, the firm electrostatic effect which can make a firm twins nature ion object, and hydrogen bond ability Since very big compatibility is shown to protein fibers, such as a collagen which has a resonance mold univalent anion kind in an end side chain, and the above-mentioned anion kind and twins nature ion are moreover formed, the adsorption power is also powerful. The fixing ability of the guanidine derivative expressed with said general formula (1) obtained by introducing an amide group into the substituent of such a Monod N-permutation guanidine derivative of a water molecule improves by installation of an amide group, and it is excellent in the moistness grant effectiveness to the skin while compatibility with protein, such as a collagen, is very strong and sticks to the skin good. Therefore, the skin external preparations which blended this guanidine derivative can give moisturizincy effect sufficient in the amount which does not hurt the feel at the time of use of skin external preparations. Furthermore, since an oil component is not necessarily added, blending the guanidine derivative by this invention with skin external preparations does not degrade the acidity or alkalinity of skin external preparations, and it is excellent in the feel at the time of use.

[0006] Hereafter, lessons is taken from this invention and it explains in full detail further. The charge of hair makeup of this invention is characterized by containing the guanidine derivative which has an amide group in the intramolecular shown by said general formula (1) as an indispensable component, and its salt.

[0007] It is the alkyl group or alkenyl radical of the shape of branched-chain [of 11-19], or a straight chain preferably. here -- the substituent R1 in general formula (1) type -- carbon numbers 1-22 -- For example, radicals, such as C11H23-, C12H25-, C13H27-, C14H29-, C15H31-, C16H33-, C17H35-, 2 (C8H17) CH-, and 4-C2H5C15H30-, are suitable.

[0008] moreover, the substituent A -- carbon numbers 1-10 -- it is the alkylene group or alkenylene group of the shape of branched-chain [of 2-6], or a straight chain preferably, for example, a methylene group, ethylene, a propylene radical, a butylene radical, a pentene radical, a hexylene radical, an isopropanal pyrene radical, 2-pentenyl radical, 2-ethyl butylene radical, etc. are mentioned. m is 1 or 0 and n is the integer of 1-5.

[0009] Although the guanidine derivative expressed with a general formula (1) is blended in the form of a salt, usually As a salt in this case, although it can specifically use as organic-acid salts, such as a glycolic-acid salt besides inorganic-acid salts, such as a hydrochloride, acetate, citrate, and an acidic-amino-acid salt, etc. When considering as an inorganic-acid salt and considering as a hydrochloride and an organic-acid salt from points to water, such as solubility, a glycolic-acid salt is desirable. although the guanidine derivative of the general formula (1) used by this invention and its salt may be blended independently, or may blend two or more sorts and especially the loadings are not restricted, it is good among skin external preparations to specify in 0.05 - 10% (% of the weight and the following -- the same) of range. If the moisturization grant effectiveness of loadings may not be enough at less than 0.05% and they exceed 10%, it is not only economically disadvantageous, but it will be sticky rather and the feel at the time of use will get worse.

[0010] The raw material usually used [water / oil, water, a surfactant, a moisturizer, lower alcohol, a thickener, an anti-oxidant, a chelating agent, pH regulator, antiseptics, perfume, coloring matter, an ultraviolet ray absorbent, vitamins, amino acid,] for the charge soap of makeup etc. other than the above-mentioned indispensable component can be blended with the external preparations of this invention. As oil, specifically Olive oil, jojoba oil, castor oil, cacao butter, Camellia oil, palm oil, haze wax, a clay BUSHIDO oil, an avocado oil, a mink oil, Fats and oils, such as a yolk oil and hardened oil; Spermaceti wax, beeswax, lanolin, a carnauba wax, Lows, such as a candelilla low; A liquid paraffin, a ceresin, squalane, Hydrocarbons, such as a micro crystallin wax, paraffin wax, and vaseline; Stearin acid, Fatty acids, such as oleic acid, a lauric acid, a myristic acid, isostearic acid, and behenic acid; Cetanol, Stearyl alcohol, RANORIRU alcohol, an octyl dodecanol, Alcohols, such as hexyl decanol; Myristic-acid isopropyl, Ester, such as butyl stearate, glyceryl monostearate, palmitic-acid isopropyl, myristic-acid octyldodecyl, oleic acid octyldodecyl, and cholesterol orate, is illustrated. As a surface

active agent, moreover, a sodium stearate, a cetyl sodium sulfate, A polyoxyethylene lauryl ether phosphoric acid, sodium laurylphosphate, Anionic surface active agents, such as palmitic-acid triethanol and N-acyl sodium glutamate; Stearyldimethylbenzylammonium chloride, Cationic surface active agents, such as stearyl chloride trimethylammonium; A hydrochloric-acid alkyl aminoethyl glycine salt, Amphoteric surface active agents, such as lecithin; Glyceryl monostearate, monostearin acid sorbitan, Sucrose fatty acid ester, monostearin acid propylene glycol, the polyoxyethylene oleyl ether, A monostearin acid polyethylene glycol, mono-palmitic-acid polyoxyethylene sorbitan, Nonionic surface active agents, such as polyoxyethylene coconut fatty-acid monoethanolamide, a polyoxyethylene polyoxypropylene glycol, polyoxyethylene castor oil, and polyoxyethylene lanolin, etc. can be illustrated.

[0011] As a moisturizer, water soluble polymer matter, such as NMF components, such as polyhydric-alcohol; amino acid, such as a glycerol, 1, 3-butylene glycol, propylene glycol, a sorbitol, a polyethylene glycol, and dipropylene glycol, sodium lactate, and pyrrolidone carboxylic-acid sodium, hyaluronic acid, a collagen, a mucopolysaccharide, and chondroitin sulfate, etc. can be illustrated. Ethanol, isopropanol, etc. can be illustrated as lower alcohol. As a thickener, synthetic macromolecule matter, such as semi-synthetic polymer matter; carboxyvinyl polymers, such as naturally-occurring-polymers matter; methyl cellulose, such as sodium alginate, xanthan gum, aluminum silicate, a quince seed extract, tragacanth gum, and starch, hydroxyethyl cellulose, a carboxymethyl cellulose, soluble starch, and a cation-ized cellulose, and polyvinyl alcohol, etc. can be illustrated. As an anti-oxidant, dibutylhydroxytoluene, butylhydroxyanisole, propyl gallate, an ascorbic acid, etc. can be illustrated. As a chelating agent, the disodium edetate, ethane hydroxy diphosphate, a pyrophosphate, a hexametaphosphoric acid salt, a citric acid, a tartaric acid, a gluconic acid, etc. can be illustrated. As a pH regulator, a sodium hydroxide, triethanolamine, a citric acid, a sodium citrate, a boric acid, a borax, phosphoric-acid-hydrogen sodium, etc. can be illustrated. As antiseptics, methyl parahydroxybenzoate, ethyl p-hydroxybenzoate, a dehydroacetic acid, a salicylic acid, a benzoic acid, a sorbic acid, a benzalkonium chloride, etc. can be illustrated.

[0012] As an ultraviolet ray absorbent, 2-hydroxy-4-methoxybenzophenone, octyl dimethyl para-aminobenzoate, ethylhexyl PARAMETOKISHI cynamate, titanium oxide, a kaolin, talc, etc. can be illustrated. As vitamins, vitamin A, vitamin B, vitamin C, vitamin D, vitamin E, vitamin F, a vitamin K, vitamin P, vitamin U, carnitine, ferulic acid, gamma-orizanol, alpha-lipoic acid, oroticacid, its derivative, etc. can be illustrated. As amino acid, a glycine, an alanine, a valine, a leucine, an isoleucine, a serine, a threonine, a phenylalanine, a tyrosin, a tryptophan, a cystine, a methionine, a proline, a hydroxyproline, an aspartic acid, glutamic acid, an arginine, a histidine, a lysine, its derivative, etc. can be illustrated. In addition, an arbitration component is not limited to these. By blending the above-mentioned indispensable component and an arbitration component suitably, it is possible to use as various product gestalten, such as face toilet, a cream, a pack agent, lotion skim milk, and a milky lotion.

[0013]

[Effect of the Invention] According to this invention, it excels in moistness and skin external preparations also with the good feel at the time of use (mileage easy, smoothness) are offered. The skin external preparations of this invention are applied with various product gestalten, such as the various creams for makeup, a milky lotion, face toilet, cosmetics essence, a pack agent, a lip cream, a lip stick, an undershirt makeup, foundation, SANKEA, a body rinse, ointment, jellies, and aerosols. In addition, the cleaning agent for the skins is not included in the external preparations for the skins of this invention.

[0014]

[Example] Next, an example and the example of a comparison explain this invention to a detail further. This invention is not limited by these.

[0015] The cream of the component presentation (% of the weight) shown in one to examples 1-5 and

example of comparison 4 table 1 was prepared, and organic-functions evaluation was gently carried out by the evaluating point criteria and the criterion after the smoothness at the time of use, a mileage easy, a lack [stickiness], and use which are shown below by 20 female panelists about admiration. The result is written together to Table 1.

[0016] (Evaluating point criteria)

It is very good. Four points are good. ... Common three points ... Two points are bad. ... One point is very bad. ... Zero point (criterion)

The average mark is [... x [Table 1]] 4.0 or less [3.0 or more]..... O average mark is less than [2.0 or more] 3.0... O average mark is less than [1.0 or more] 2.0... ** average mark is less than [0 or more] 1.0.

[0017]

	成 分	実 施 例					比 較 例			
		1	2	3	4	5	1	2	3	4
組	4-ジアニソプロピルアミン・グリコール酸塩	2.0	1.0	—	0.04	10.5	—	—	—	—
	2-ジアニソエチルオクテナミド塩酸塩	—	1.0	2.0	—	—	—	—	—	—
	塩化ステアリルトリメチルアンモニウム	—	—	—	—	—	2.0	—	—	—
	ワセリン	—	—	—	—	—	—	8.0	—	—
	グリセリン	1.0							7.0	1.0
成	流動パラフィン	10.0								
	ポリエチレングリコール1500	3.0								
	ステアリン酸	2.5								
	セタノール	1.5								
	防腐剤	微 量								
%	香料	微 量								
	精製水	バ ラ ン ス								
性 能	使用後のしっとり感(保湿性)	○	○	○	△	○	△	△	○	×
	使用時のなめらかさ	○	○	○	△	○	△	△	△	×
	使用時のびやきさ	○	○	○	△	○	△	△	△	△
	使用時のべとつきなさ	○	○	○	○	△	○	×	×	○

[0018] It turns out that the skin external preparations containing the component shown by the general formula (1) are excellent in a moisturizency effect, and the mileage at the time of use is good, and it is not sticky, and has a smooth feeling of use so that clearly from Table 1 (examples 1, 2, and 3).

Moreover, if the above-mentioned active principle is a little inferior to admiration gently and exceeds 10 % of the weight at less than (example 4) 0.05 % of the weight (example 5), stickiness will arise a little.

On the other hand, the moistness excellent in the oils of the shape of a paste, such as a 4th class-ized ammonium type cation activator and vaseline, and water-soluble polyhydric alcohol and skin external

preparations having a good use feel cannot be obtained (examples 1-4 of a comparison).

[0019] Next, the example of a formula of this invention skin external preparations is shown.

[0020]

The example 1 (milk lotion) of a formula

Stearin acid 2.0wt(s)% Cetanol 1.0wt(s)% Lanolin 2.0wt(s)% 2-guanidino ethyl
OKUTADEKANAMIDO acetate 1.5wt(s)% Squalane A 3.0wt% liquid paraffin 8.0wt(s)% POE(10)
lauryl ether 2.0wt(s)% Propylene glycol 7.0wt% Perfume 0.4wt% Methylparaben 0.1wt% Purified water
Remainder [0021]

The example 2 (vanishing cream) of a formula

A mono-myristic-acid glycerol 1.0wt(s)% Yellow bees wax 3.0wt(s)% Cetanol 4.0wt(s)% 2-guanidino
ethyl tetra-DEKANAMIDO acetate 1.5wt(s)% Liquid paraffin 5.0wt(s)% Olive oil 1.5wt(s)% POE (5)
hydrogenated castor oil 1.0wt(s)% Triethanolamine 0.8wt(s)% Propylene glycol 7.0wt(s)% Perfume
0.4wt% Butylparaben 0.1wt% Purified water Remainder [0022]

The example 3 (cold cream) of a formula

Yellow bees wax 5.0wt(s)% Cetanol 8.0wt(s)% White vaseline 3.0wt(s)% Mono-palmitic-acid glycerol
1.0wt(s)% 4-guanidino butyl DODEKANAMIDO glycolic-acid salt 2.0wt% Squalane 1.0wt(s)% Liquid
paraffin 20.0wt(s)% POE(7) cetyl ether 1.5wt(s)% Propylene glycol 5.0wt(s)% Perfume 0.4wt(s)%
Butylparaben 0.05wt% Methylparaben 0.1wt% Purified water Remainder [0023]

The example 4 (night cream) of a formula

Solid-state paraffin 3.0wt(s)% Cetanol 3.0wt(s)% Liquid paraffin 3.0wt(s)% Dimethicone (5000cst)
5.0wt(s)% Oleic acid A 2.0wt% 2-guanidino ethyl hexa DEKANAMIDO hydrochloride 1.0wt% POE(5)
glyceryl TORIISO stearate 1.0wt(s)% POE(30) nonylphenyl ether 1.0wt(s)% Perfume 0.4wt%
Methylparaben 0.1wt% Butylparaben 0.1wt% Purified water Remainder

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TECHNICAL FIELD

[Industrial Application] This invention has skin external preparations, spread nature (mileage) high [excelling in moistness in more detail / at the time of use], and smooth nature, and relates to skin external preparations with a good feeling of use.

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EFFECT OF THE INVENTION

[Effect of the Invention] According to this invention, it excels in moistness and skin external preparations also with the good feel at the time of use (mileage easy, smoothness) are offered. The skin external preparations of this invention are applied with various product gestalten, such as the various creams for makeup, a milky lotion, face toilet, cosmetics essence, a pack agent, a lip cream, a lip stick, an undershirt makeup, foundation, SANKEA, a body rinse, ointment, jellies, and aerosols. In addition, the cleaning agent for the skins is not included in the external preparations for the skins of this invention.

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TECHNICAL PROBLEM

[Problem(s) to be Solved by the Invention] This invention makes it the technical problem to offer the skin external preparations which it excels in a moisturizincy effect, and the mileage at the time of use is good, is not sticky, and have a smooth feeling of use.

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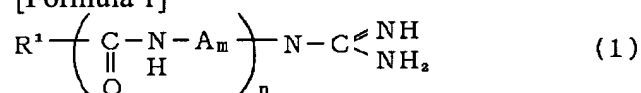
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MEANS

[Means for Solving the Problem] this invention persons came to complete this invention, as a result of repeating examination wholeheartedly that said technical problem should be solved. That is, according to this invention, the skin external preparations (however, the cleaning agent for the skins is removed) characterized by containing one sort chosen from the guanidine derivative which has an amide group in the intramolecular expressed with the following general formula, and its salt, or two sorts or more are offered.

[Formula 1]



(However, R₁ is the alkyl group or alkenyl radical of the shape of the letter of branching of carbon numbers 1-22, or a straight chain among a formula, A is the alkylene group or alkenylene group of the shape of the letter of branching of carbon numbers 1-10, or a straight chain, and, as for m, 0 or 1, and n show the integer of 1-5)

[0005] Generally a Monod N-permutation guanidine derivative Since it has in intramolecular the guanidine radical which is a strong base nature machine and this radical has resonance mold univalent anion kinds, such as a carboxy anion, the firm electrostatic effect which can make a firm twins nature ion object, and hydrogen bond ability Since very big compatibility is shown to protein fibers, such as a collagen which has a resonance mold univalent anion kind in an end side chain, and the above-mentioned anion kind and twins nature ion are moreover formed, the adsorption power is also powerful. The fixing ability of the guanidine derivative expressed with said general formula (1) obtained by introducing an amide group into the substituent of such a Monod N-permutation guanidine derivative of a water molecule improves by installation of an amide group, and it is excellent in the moistness grant effectiveness to the skin while compatibility with protein, such as a collagen, is very strong and sticks to the skin good. Therefore, the skin external preparations which blended this guanidine derivative can give moisturizincy effect sufficient in the amount which does not hurt the feel at the time of use of skin external preparations. Furthermore, since an oil component is not necessarily added, blending the guanidine derivative by this invention with skin external preparations does not degrade the acidity or alkalinity of skin external preparations, and it is excellent in the feel at the time of use.

[0006] Hereafter, lessons is taken from this invention and it explains in full detail further. The charge of hair makeup of this invention is characterized by containing the guanidine derivative which has an amide group in the intramolecular shown by said general formula (1) as an indispensable component, and its salt.

[0007] It is the alkyl group or alkenyl radical of the shape of branched-chain [of 11-19], or a straight chain preferably. here -- the substituent R₁ in general formula (1) type -- carbon numbers 1-22 -- For example, radicals, such as C₁₁H₂₃-, C₁₂H₂₅-, C₁₃H₂₇-, C₁₄H₂₉-, C₁₅H₃₁-, C₁₆H₃₃-, C₁₇H₃₅-, 2

(C₈H₁₇) CH-, and 4-C₂H₅C₁₅H₃₀-, are suitable.

[0008] moreover, the substituent A -- carbon numbers 1-10 -- it is the alkylene group or alkenylene group of the shape of branched-chain [of 2-6], or a straight chain preferably, for example, a methylene group, ethylene, a propylene radical, a butylene radical, a pentene radical, a hexylene radical, an isopropanal pyrene radical, 2-pentenyl radical, 2-ethyl butylene radical, etc. are mentioned. m is 1 or 0 and n is the integer of 1-5.

[0009] Although the guanidine derivative expressed with a general formula (1) is blended in the form of a salt, usually As a salt in this case, although it can specifically use as organic-acid salts, such as a glycolic-acid salt besides inorganic-acid salts, such as a hydrochloride, acetate, citrate, and an acidic-amino-acid salt, etc. When considering as an inorganic-acid salt and considering as a hydrochloride and an organic-acid salt from points to water, such as solubility, a glycolic-acid salt is desirable. although the guanidine derivative of the general formula (1) used by this invention and its salt may be blended independently, or may blend two or more sorts and especially the loadings are not restricted, it is good among skin external preparations to specify in 0.05 - 10% (% of the weight and the following -- the same) of range. If the moisturization grant effectiveness of loadings may not be enough at less than 0.05% and they exceed 10%, it is not only economically disadvantageous, but it will be sticky rather and the feel at the time of use will get worse.

[0010] The raw material usually used [water / oil, water, a surfactant, a moisturizer, lower alcohol, a thickener, an anti-oxidant, a chelating agent, pH regulator, antiseptics, perfume, coloring matter, an ultraviolet ray absorbent, vitamins, amino acid,] for the charge soap of makeup etc. other than the above-mentioned indispensable component can be blended with the external preparations of this invention. As oil, specifically Olive oil, jojoba oil, castor oil, cacao butter, Camellia oil, palm oil, haze wax, a clay BUSHIDO oil, an avocado oil, a mink oil, Fats and oils, such as a yolk oil and hardened oil; Spermaceti wax, beeswax, lanolin, a carnauba wax, Lows, such as a candelilla low; A liquid paraffin, a ceresin, squalane, Hydrocarbons, such as a micro crystallin wax, paraffin wax, and vaseline; Stearin acid, Fatty acids, such as oleic acid, a lauric acid, a myristic acid, isostearic acid, and behenic acid; Cetanol, Stearyl alcohol, RANORIRU alcohol, an octyl dodecanol, Alcohols, such as hexyl decanol; Myristic-acid isopropyl, Ester, such as butyl stearate, glyceryl monostearate, palmitic-acid isopropyl, myristic-acid octyldodecyl, oleic acid octyldodecyl, and cholesterol orate, is illustrated. As a surface active agent, moreover, a sodium stearate, a cetyl sodium sulfate, A polyoxyethylene lauryl ether phosphoric acid, sodium laurylphosphate, Anionic surface active agents, such as palmitic-acid triethanol and N-acyl sodium glutamate; Stearyldimethylbenzylammonium chloride, Cationic surface active agents, such as stearyl chloride trimethylammonium; A hydrochloric-acid alkyl aminoethyl glycine salt, Amphoteric surface active agents, such as lecithin; Glyceryl monostearate, monostearin acid sorbitan, Sucrose fatty acid ester, monostearin acid propylene glycol, the polyoxyethylene oleyl ether, A monostearin acid polyethylene glycol, mono-palmitic-acid polyoxyethylene sorbitan, Nonionic surface active agents, such as polyoxyethylene coconut fatty-acid monoethanolamide, a polyoxyethylene polyoxypropylene glycol, polyoxyethylene castor oil, and polyoxyethylene lanolin, etc. can be illustrated.

[0011] As a moisturizer, water soluble polymer matter, such as NMF components, such as polyhydric-alcohol; amino acid, such as a glycerol, 1, 3-butylene glycol, propylene glycol, a sorbitol, a polyethylene glycol, and dipropylene glycol, sodium lactate, and pyrrolidone carboxylic-acid sodium, hyaluronic acid, a collagen, a mucopolysaccharide, and chondroitin sulfate, etc. can be illustrated. Ethanol, isopropanol, etc. can be illustrated as lower alcohol. As a thickener, synthetic macromolecule matter, such as semi-synthetic polymer matter; carboxyvinyl polymers, such as naturally-occurring-polymers matter; methyl cellulose, such as sodium alginate, xanthan gum, aluminum silicate, a quince seed extract, tragacanth gum, and starch, hydroxyethyl cellulose, a carboxymethyl cellulose, soluble starch, and a cation-ized cellulose, and polyvinyl alcohol, etc. can be illustrated. As an anti-oxidant, dibutylhydroxytoluene, butylhydroxyanisole, propyl gallate, an ascorbic acid, etc. can be illustrated. As

a chelating agent, the disodium edetate, ethane hydroxy diphosphate, a pyrophosphate, a hexametaphosphoric acid salt, a citric acid, a tartaric acid, a gluconic acid, etc. can be illustrated. As a pH regulator, a sodium hydroxide, triethanolamine, a citric acid, a sodium citrate, a boric acid, a borax, phosphoric-acid-hydrogen sodium, etc. can be illustrated. As antiseptics, methyl parahydroxybenzoate, ethyl p-hydroxybenzoate, a dehydroacetic acid, a salicylic acid, a benzoic acid, a sorbic acid, a benzalkonium chloride, etc. can be illustrated.

[0012] As an ultraviolet ray absorbent, 2-hydroxy-4-methoxybenzophenone, octyl dimethyl para-aminobenzoate, ethylhexyl PARAMETOKISHI cynamate, titanium oxide, a kaolin, talc, etc. can be illustrated. As vitamins, vitamin A, vitamin B, vitamin C, vitamin D, vitamin E, vitamin F, a vitamin K, vitamin P, vitamin U, carnitine, ferulic acid, gamma-orizanol, alpha-lipoic acid, oroticacid, its derivative, etc. can be illustrated. As amino acid, a glycine, an alanine, a valine, a leucine, an isoleucine, a serine, a threonine, a phenylalanine, a thyrosin, a tryptophan, a cystine, a cystine, a methionine, a proline, a hydroxyproline, an aspartic acid, glutamic acid, an arginine, a histidine, a lysine, its derivative, etc. can be illustrated. In addition, an arbitration component is not limited to these. By blending the above-mentioned indispensable component and an arbitration component suitably, it is possible to use as various product gestalten, such as face toilet, a cream, a pack agent, lotion skim milk, and a milky lotion.

[Translation done.]

* NOTICES *

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1. This document has been translated by computer. So the translation may not reflect the original precisely.
2. **** shows the word which can not be translated.
3. In the drawings, any words are not translated.

EXAMPLE

[Example] Next, an example and the example of a comparison explain this invention to a detail further. This invention is not limited by these.

[0015] The cream of the component presentation (% of the weight) shown in one to examples 1-5 and example of comparison 4 table 1 was prepared, and organic-functions evaluation was gently carried out by the evaluating point criteria and the criterion after the smoothness at the time of use, a mileage easy, a lack [stickiness], and use which are shown below by 20 female panelists about admiration. The result is written together to Table 1.

[0016] (Evaluating point criteria)

It is very good. Four points are good. ... Common three points ... Two points are bad. ... One point is very bad. ... Zero point (criterion)

The average mark is [... x [Table 1]] 4.0 or less [3.0 or more]..... O average mark is less than [2.0 or more] 3.0... O average mark is less than [1.0 or more] 2.0... ** average mark is less than [0 or more] 1.0.

[0017]

	成 分	実 施 例					比 較 例			
		1	2	3	4	5	1	2	3	4
組 成 %	4-グアニジノブチルアミン・ グリコール酸塩	2.0	1.0	—	0.04	10.5	—	—	—	—
	2-グアニジノエチルオクタデカミド 塩酸塩	—	1.0	2.0	—	—	—	—	—	—
	塩化ステアリルトリメチルアンモニウム	—	—	—	—	—	2.0	—	—	—
	ワセリン	—	—	—	—	—	—	8.0	—	—
	グリセリン	1.0							7.0	1.0
	流動パラフィン	10.0								
	ポリエチレングリコール1500	3.0								
	ステアリン酸	2.5								
	セタノール	1.5								
	防腐剤	微 量								
性 能	香料	微 量								
	精製水	バ ラ ン ス								
	使用後のしっとり感(保湿性)	○	○	○	△	○	△	△	○	×
	使用時のなめらかさ	○	○	○	△	○	△	△	△	×
能	使用時のびやすさ	○	○	○	△	○	△	△	△	△
	使用時のべとつき	○	○	○	○	△	○	×	×	○

[0018] It turns out that the skin external preparations containing the component shown by the general formula (1) are excellent in a moisturizing effect, and the mileage at the time of use is good, and it is not sticky, and has a smooth feeling of use so that clearly from Table 1 (examples 1, 2, and 3). Moreover, if the above-mentioned active principle is a little inferior to admiration gently and exceeds 10 % of the weight at less than (example 4) 0.05 % of the weight (example 5), stickiness will arise a little. On the other hand, the moistness excellent in the oils of the shape of a paste, such as a 4th class-ized ammonium type cation activator and vaseline, and water-soluble polyhydric alcohol and skin external preparations having a good use feel cannot be obtained (examples 1-4 of a comparison).

[0019] Next, the example of a formula of this invention skin external preparations is shown.

[0020]

The example 1 (milk lotion) of a formula

Stearin acid 2.0wt(s)% Cetanol 1.0wt(s)% Lanolin 2.0wt(s)% 2-guanidino ethyl
OKUTADEKANAMIDO acetate 1.5wt(s)% Squalane A 3.0wt% liquid paraffin 8.0wt(s)% POE(10)
lauryl ether 2.0wt(s)% Propylene glycol 7.0wt% Perfume 0.4wt% Methylparaben 0.1wt% Purified water
Remainder [0021]

The example 2 (vanishing cream) of a formula

A mono-myristic-acid glycerol 1.0wt(s)% Yellow bees wax 3.0wt(s)% Cetanol 4.0wt(s)% 2-guanidino
ethyl tetra-DEKANAMIDO acetate 1.5wt(s)% Liquid paraffin 5.0wt(s)% Olive oil 1.5wt(s)% POE (5)

hydrogenated castor oil 1.0wt(s)% Triethanolamine 0.8wt(s)% Propylene glycol 7.0wt(s)% Perfume 0.4wt% Butylparaben 0.1wt% Purified water Remainder [0022]

The example 3 (cold cream) of a formula

Yellow bees wax 5.0wt(s)% Cetanol 8.0wt(s)% White vaseline 3.0wt(s)% Mono-palmitic-acid glycerol 1.0wt(s)% 4-guanidino butyl DODEKANAMIDO glycolic-acid salt 2.0wt% Squalane 1.0wt(s)% Liquid paraffin 20.0wt(s)% POE(7) cetyl ether 1.5wt(s)% Propylene glycol 5.0wt(s)% Perfume 0.4wt(s)% Butylparaben 0.05wt% Methylparaben 0.1wt% Purified water Remainder [0023]

The example 4 (night cream) of a formula

Solid-state paraffin 3.0wt(s)% Cetanol 3.0wt(s)% Liquid paraffin 3.0wt(s)% Dimethicone (5000cst) 5.0wt(s)% Oleic acid A 2.0wt% 2-guanidino ethyl hexa DEKANAMIDO hydrochloride 1.0wt% POE(5) glyceryl TORIISO stearate 1.0wt(s)% POE(30) nonylphenyl ether 1.0wt(s)% Perfume 0.4wt% Methylparaben 0.1wt% Butylparaben 0.1wt% Purified water Remainder

[Translation done.]

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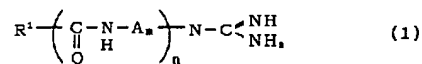
(54)【発明の名称】 皮膚外用剤

(57)【要約】

【目的】 保湿効果に優れ、使用時ののびがよく、べとつかず滑らかな使用感を有する皮膚外用剤を提供する。

【構成】 下記一般式で表わされる分子内にアミド基を持つグアニジン誘導体及びその塩の中から選ばれる1種又は2種以上を含有することを特徴とする皮膚外用剤（但し、皮膚用洗浄剤を除く）が提供される。

【化1】

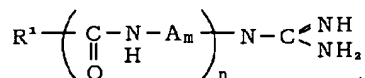


（但し、式中、R¹は炭素数1～22の分岐状又は直鎖状のアルキル基又はアルケニル基であり、Aは炭素数1～10の分岐状又は直鎖状のアルキレン基又はアルケレン基であり、mは0又は1、nは1～5の整数を示す）

【特許請求の範囲】

【請求項1】 下記一般式

【化1】



(但し、式中、 R^1 は炭素数1～22の分岐鎖状又は直鎖状のアルキル基又はアルケニル基であり、Aは炭素数1～10の分岐鎖状又は直鎖状のアルキレン基又はアルケニレン基であり、 m は0又は1、 n は1～5の整数を示す)で表わされる分子内にアミド基を持つグアニジン誘導体及びその塩の中から選ばれる1種又は2種以上を含有することを特徴とする皮膚外用剤(但し、皮膚用洗浄剤を除く)。

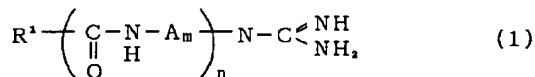
【発明の詳細な説明】

【0001】

【産業上の利用分野】本発明は皮膚外用剤、さらに詳しくは保湿性に優れ、かつ使用時の高い延展性(のび)、平滑性を有し、良好な使用感をもつ皮膚外用剤に関する。

【0002】

【従来の技術】従来、化粧品にしっかりと感、すなわち、保湿効果を付与する目的でグリセリンや1, 3-ブチレングリコール等の水溶性多価アルコールや水溶性高分子*



(但し、式中、 R^1 は炭素数1～22の分岐状又は直鎖状のアルキル基又はアルケニル基であり、Aは炭素数1～10の分岐状又は直鎖状のアルキレン基又はアルケニレン基であり、 m は0又は1、 n は1～5の整数を示す)

【0005】一般に、モノ-N-置換グアニジン誘導体は、分子内に強塩基性基であるグアニジン基を有し、この基はカルボキシアニオンなどの共鳴型1価アニオン種と強固な双分子性イオン体を作り得る強固な静電効果と水素結合能とを有するので、共鳴型1価アニオン種を末端側鎖に持つコラーゲン等のタンパク質繊維に対して極めて、大きな親和性を示し、しかも、上記アニオン種と双分子性イオンを形成することからその吸着力も強力である。このようなモノ-N-置換グアニジン誘導体の置換基中にアミド基を導入することにより得られる前記一般式(1)で表わされるグアニジン誘導体は、コラーゲン等のタンパク質との親和性が非常に強く、皮膚に良好に吸着すると共に、アミド基の導入で水分子の固着能が向上し、皮膚への保湿性付与効果に優れる。従って、このグアニジン誘導体を配合した皮膚外用剤は、皮膚外用剤の使用時の感触を損なわせない量で充分な保湿効果を付与できる。さらに、本発明によるグアニジン誘導体を皮膚外用剤に配合することは、油成分を追加するわけではないので、皮膚外用剤の液性を劣化させることがなく、

*を多量に配合することが行われてきたが、これら水溶性多価アルコールや水溶性高分子を多く配合するとべたつきが感じられるようになり、また塗布時にのびが悪くなり、肌へのなじみが悪いという欠点があった。また、滑らかで油性感の良好な使用感を得る目的でラノリンやワセリン等のペースト状の油剤を配合することが行われてきたが、油性感の良好な使用感が得られる反面、べたつきが感じられるようになるという欠点があり、またしっとり感をも併せもたせることは困難であった。

【0003】

【発明が解決しようとする課題】本発明は、保湿効果に優れ、使用時ののびがよく、べとつかず滑らかな使用感を有する皮膚外用剤を提供することをその課題とする。

【0004】

【課題を解決するための手段】本発明者らは、前記課題を解決すべく鋭意検討を重ねた結果、本発明を完成するに至った。すなわち、本発明によれば、下記一般式で表わされる分子内にアミド基を持つグアニジン誘導体及びその塩の中から選ばれる1種又は2種以上を含有することを特徴とする皮膚外用剤(但し、皮膚用洗浄剤を除く)が提供される。

【化1】

使用時の感触に優れている。

【0006】以下、本発明につき更に詳述する。本発明の毛髪化粧料は、必須成分として、前記一般式(1)で示される分子内にアミド基を持つグアニジン誘導体やその塩を含有することを特徴とする。

【0007】ここで、一般式(1)式中の置換基 R^1

は、炭素数1～22、好ましくは11～19の分岐鎖状又は直鎖状のアルキル基又はアルケニル基であり、例えば $\text{C}_{11}\text{H}_{23}-$ 、 $\text{C}_{12}\text{H}_{25}-$ 、 $\text{C}_{13}\text{H}_{27}-$ 、 $\text{C}_{14}\text{H}_{29}-$ 、 $\text{C}_{15}\text{H}_{31}-$ 、 $\text{C}_{16}\text{H}_{33}-$ 、 $\text{C}_{17}\text{H}_{35}-$ 、 $(\text{C}_8\text{H}_{17})_2\text{CH}-$ 、 $4-\text{C}_2\text{H}_5\text{C}_1\text{H}_9-$ などの基が好適である。

【0008】また、置換基Aは、炭素数1～10、好ましくは2～6の分岐鎖状又は直鎖状のアルキレン基又はアルケニレン基であり、例えばメチレン基、エチレン基、プロピレン基、ブチレン基、ペンチレン基、ヘキシレン基、イソプロピレン基、2-ペンテニル基、2-エチルブチレン基などが挙げられる。 m は1又は0であり、 n は1～5の整数である。

【0009】一般式(1)で表わされるグアニジン誘導体は、通常、塩の形で配合されるが、この場合の塩としては、具体的には塩酸塩等の無機酸塩の他、グリコール酸塩、酢酸塩、クエン酸塩、酸性アミノ酸塩等の有機酸塩などとして用いることができるが、水への溶解性等の

点から、無機酸塩とする場合は塩酸塩、有機酸塩とする場合はグリコール酸塩が望ましい。本発明で用いる一般式(1)のグアニジン誘導体及びその塩は、単独で配合しても、2種以上を配合してもよく、また、その配合量は特に制限されないが、皮膚外用剤中、0.05~10% (重量%、以下同様)の範囲に規定するのがよい。配合量が0.05%未満では保湿付与効果が充分でない場合があり、10%を越えると経済的に不利であるばかりでなく、むしろべたついて使用時の感触が悪化する。

【0010】本発明の外用剤には、上記必須成分の他に、油分、水、界面活性剤、保湿剤、低級アルコール、増粘剤、酸化防止剤、キレート剤、pH調整剤、防腐剤、香料、色素、紫外線吸収剤、ビタミン類、アミノ酸類、水等、通常、化粧品石鹸などに用いられる原料を配合可能である。具体的には、油分としては、オリーブ油、ホホバ油、ヒマシ油、カカオ脂、椿油、ヤシ油、木ロウ、クレープシード油、アボガド油、ミンク油、卵黄油、硬化油等の油脂類；鯨ロウ、蜜ロウ、ラノリン、カルナウバロウ、キャンデリラロウ等のロウ類；流動パラフィン、セレシン、スクワラン、マイクロクリスタリンワックス、パラフィンワックス、ワセリン等の炭化水素類；ステアリン酸、オレイン酸、ラウリン酸、ミリスチン酸、イソステアリン酸、ベヘニン酸等の脂肪酸類；セタノール、ステアリルアルコール、ラノリルアルコール、オクチルドデカノール、ヘキシルデカノール等のアルコール類；ミリスチン酸イソプロピル、ステアリン酸ブチル、モノステアリン酸グリセリン、パルミチン酸イソプロピル、ミリスチン酸オクチルドデシル、オレイン酸オクチルドデシル、コレステロールオレート等のエステル類などが例示される。また、界面活性剤としては、ステアリン酸ナトリウム、セチル硫酸ナトリウム、ポリオキシエチレンラウリルエーテルリン酸、ラウリルリン酸ナトリウム、パルミチン酸トリエタノール、N-アシルグルタミン酸ナトリウム等のアニオン界面活性剤；塩化ステアリルジメチルベンジルアンモニウム、塩化ステアリルトリメチルアンモニウム等のカチオン界面活性剤；塩酸アルキルアミノエチルグリシン塩、レシチン等の両性界面活性剤；モノステアリン酸グリセリン、モノステアリン酸ソルビタン、ショ糖脂肪酸エステル、モノステアリン酸プロピレングリコール、ポリオキシエチレンオレイルエーテル、モノステアリン酸ポリエチレングリコール、モノパルミチン酸ポリオキシエチレンソルビタン、ポリオキシエチレンヤシ脂肪酸モノエタノールアミド、ポリオキシエチレンポリオキシプロピレングリコール、ポリオキシエチレンヒマシ油、ポリオキシエチレンラノリン等の非イオン界面活性剤等を例示することができる。

【0011】保湿剤としては、グリセリン、1,3-ブチレングリコール、プロピレングリコール、ソルビトール、ポリエチレングリコール、ジプロピレングリコール

等の多価アルコール類；アミノ酸、乳酸ナトリウム、ピロリドンカルボン酸ナトリウム等のNMF成分、ヒアルロン酸、コラーゲン、ムコ多糖類、コンドロイチン硫酸等の水溶性高分子物質等を例示することができる。低級アルコールとしては、エタノール、イソプロパノール等を例示することができる。増粘剤としては、アルギン酸ナトリウム、キサンタンガム、珪酸アルミニウム、マルメロ種子抽出物、トラガントガム、デンプン等の天然高分子物質；メチルセルロース、ヒドロキシエチルセルロース、カルボキシメチルセルロース、可溶性デンプン、カチオン化セルロース等の半合成高分子物質；カルボキシビニルポリマー、ポリビニルアルコール等の合成高分子物質等を例示することができる。酸化防止剤としては、ジブチルヒドロキソトルエン、ブチルヒドロキシアニソール、没食子酸プロピル、アスコルビン酸等を例示することができる。キレート剤としては、エデト酸二ナトリウム、エタンヒドロキシジホスフェート、ピロリン酸塩、ヘキサメタリン酸塩、クエン酸、酒石酸、グルコン酸等を例示することができる。pH調整剤としては、水酸化ナトリウム、トリエタノールアミン、クエン酸、クエン酸ナトリウム、ホウ酸、ホウ砂、リン酸-水素ナトリウム等を例示することができる。防腐剤としては、パラオキシ安息香酸メチル、パラオキシ安息香酸エチル、デヒドロ酢酸、サリチル酸、安息香酸、ソルビン酸、塩化ベンザルコニウム等を例示することができる。

【0012】紫外線吸収剤としては、2-ヒドロキシ-4-メトキシベンゾフェノン、オクチルジメチルパラアミノベンゾエート、エチルヘキシルパラメトキシサイナメート、酸化チタン、カオリン、タルク等を例示することができる。ビタミン類としては、ビタミンA、ビタミンB、ビタミンC、ビタミンD、ビタミンE、ビタミンF、ビタミンK、ビタミンP、ビタミンU、カルニチン、フェルラ酸、γ-オリザノール、α-リポ酸、オロット酸及びその誘導体等を例示することができる。アミノ酸類としては、グリシン、アラニン、バリン、ロイシン、イソロイシン、セリン、トレオニン、フェニルアラニン、チロシン、トリプトファン、シスチン、システイン、メチオニン、プロリン、ヒドロキシプロリン、アスパラギン酸、グルタミン酸、アルギニン、ヒスチジン、リジン及びその誘導体等を例示することができる。尚、任意成分は、これらに限定されるものではない。上記必須成分と任意成分を適当に配合することにより、化粧水、クリーム、パック剤、ローションスキンミルク、乳液等種々の製品形態として用いることが可能である。

【0013】

【発明の効果】本発明によれば、保湿性に優れ、かつ使用時の感触(のびやすさ、滑らかさ)も良好な皮膚外用剤が提供される。本発明の皮膚外用剤は、各種化粧品、乳液、化粧水、美容エッセンス、パック剤、リップクリーム、口紅、アンダーメークアップ、ファンデ

ーション、サンケア、ボディリンス、軟膏、ゼリ剤、エアゾール剤等種々の製品形態で適用される。なお、本発明の皮膚外用剤においては、皮膚用洗浄剤は包含されない。

【0014】

【実施例】次に、実施例及び比較例により本発明をさらに詳細に説明する。本発明は、これらにより限定されるものではない。

【0015】実施例1～5、比較例1～4

表1に示す成分組成（重量％）のクリームを調製し、使用時のなめらかさ、のびやすさ、べとつきのなさ、及び使用後のしっとり感について、女性パネラー20人により以下に示す評価点基準及び判定基準で官能評価した。

その結果を表1に併記する。

＊

＊【0016】（評価点基準）

非常に良い …… 4点
 良い …… 3点
 普通 …… 2点
 悪い …… 1点
 非常に悪い …… 0点

（判定基準）

平均点が3.0以上4.0以下 …… ◎
 平均点が2.0以上3.0未満 …… ○
 平均点が1.0以上2.0未満 …… △
 平均点が0以上1.0未満 …… ×

【表1】

【0017】

	成 分	実 施 例					比 較 例			
		1	2	3	4	5	1	2	3	4
組 成 %	4-ジアジノブチルアミン・ グリコール酸塩	2.0	1.0	—	0.04	10.5	—	—	—	—
	2-ジアジノエチルアミン・ 塩酸塩	—	1.0	2.0	—	—	—	—	—	—
	塩化ステアリルトリメチルアンモニウム	—	—	—	—	—	2.0	—	—	—
	ワセリン	—	—	—	—	—	—	8.0	—	—
	グリセリン	1.0						7.0	1.0	—
	流動パラフィン	10.0								
	ポリエチレングリコール1500	3.0								
	ステアリン酸	2.5								
	セタノール	1.5								
	防腐剤	微 量								
	香料	微 量								
	精製水	バ ラ ンス								
性 能	使用後のしっとり感（保湿性）	○	○	○	△	○	△	△	○	×
	使用時のなめらかさ	○	○	○	△	○	△	△	△	×
	使用時のびやすさ	○	○	○	△	○	△	△	△	△
	使用時のべとつきのなさ	○	○	○	○	△	○	×	×	○

【0018】表1から明らかなように、一般式（1）で示される成分を含有している皮膚外用剤は、保湿効果に優れ、使用時ののびが良く、べとつかず、なめらかな使用感を有していることがわかる（実施例1、2、3）。また、上記の有効成分が0.05重量％未満（実施例4）では、しっとり感にやや劣り、10重量％を超えると（実施例5）べたつきがやや生じる。これに対し、4※

処方例1（ミルクローション）

※級化アンモニウムタイプのカチオン活性剤、ワセリン等のペースト状の油剤、水溶性多価アルコールでは優れた保湿性、良好な使用感触を併せ持った皮膚外用剤を得ることができない（比較例1～4）。

【0019】次に、本発明皮膚外用剤の処方例を示す。

【0020】

(5)

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7	8
ステアリン酸	2.0wt%
セタノール	1.0wt%
ラノリン	2.0wt%
2-グアニジノエチルオクタデカナミド酢酸塩	1.5wt%
スクワラン	3.0wt%
流動パラフィン	8.0wt%
POE(10)ラウリルエーテル	2.0wt%
プロピレングリコール	7.0wt%
香料	0.4wt%
メチルバラベン	0.1wt%
精製水	残部

【0021】

処方例2 (バニシングクリーム)

モノミリスチン酸グリセリン	1.0wt%
ミツロウ	3.0wt%
セタノール	4.0wt%
2-グアニジノエチルテトラデカナミド酢酸塩	1.5wt%
流動パラフィン	5.0wt%
オリーブ油	1.5wt%
POE(5)硬化ヒマシ油	1.0wt%
トリエタノールアミン	0.8wt%
プロピレングリコール	7.0wt%
香料	0.4wt%
ブチルバラベン	0.1wt%
精製水	残部

【0022】

処方例3 (コールドクリーム)

ミツロウ	5.0wt%
セタノール	8.0wt%
白色ワセリン	3.0wt%
モノバルミチン酸グリセリン	1.0wt%
4-グアニジノブチルドデカンアミドグリコール酸塩	2.0wt%
スクワラン	1.0wt%
流動パラフィン	20.0wt%
POE(7)セチルエーテル	1.5wt%
プロピレングリコール	5.0wt%
香料	0.4wt%
ブチルバラベン	0.05wt%
メチルバラベン	0.1wt%
精製水	残部

【0023】

処方例4 (ナイトクリーム)

固体パラフィン	3.0wt%
セタノール	3.0wt%
流動パラフィン	3.0wt%
ジメチコン(5000cst)	5.0wt%
オレイン酸	2.0wt%
2-グアニジノエチルヘキサデカナミド塩酸塩	1.0wt%
POE(5)グリセリルトリイソステアレート	1.0wt%
POE(30)ノニルフェニルエーテル	1.0wt%

(6)

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9

10

香料

0.4 wt %

メチルバラベン

0.1 wt %

ブチルバラベン

0.1 wt %

精製水

残部

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